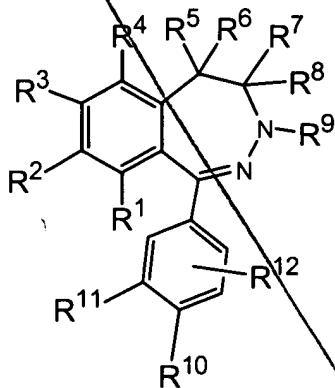


We claim:

1. A compound of Formula I:



wherein

R¹, R², R³ and R⁴ are independently

H,

HO,

R¹³O-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF₃,

R¹⁴CO₂-,

R¹⁴O₂C-,

R¹⁴CO-,

R¹⁴CONH-,

R¹⁴NHCO-,

R¹⁴NHCO₂-,

R¹⁴OCONH-,

R¹⁴O₂S-,

R¹⁴OS-, or

R¹⁵R¹⁶N-; or

R¹ and R², or R² and R³, or R³ and R⁴ taken together can be

A'
Cont

~~-SCH₂S-,
-SCH₂O-,
-OCH₂S-,
-SCH₂CH₂S-,
-SCH₂CH₂O-, or
-OCH₂CH₂S-;~~

wherein one of R¹, R², R³ and R⁴ must be C1-C3-alkoxy or C1-C3-alkylthio group;

R⁵, R⁶, R⁷, and R⁸ are independently

H,
C1-C6-alkyl,
C3-C6-alkenyl,
C3-C6-cycloalkyl,

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents, C1-C3-alkyl, halogen (F, Cl, Br), R¹³O-, CF₃-, R¹⁴O₂S-, R¹⁴OS-, R¹⁴CO, R¹⁴CO₂-, R¹⁴O₂C-, R¹⁴CONH-, R¹⁴NHCO; or R⁵ and R⁶ taken together can be C3-C6-cycloalkyl; R⁷ and R⁸ taken together can be C3-C6-cycloalkyl; R⁹ is

~~R¹⁵R¹⁶NCO-,
R¹⁵R¹⁶NCS-,
R¹⁵R¹⁶N(CR¹⁷)-,
R¹⁷OCO-,
R¹⁵CO-,
R¹⁵R¹⁶NCH₂CO-,
R¹⁴O₂C-(CH₂)_n-,
R¹⁵R¹⁶NCO-(CH₂)_n-,
NC-(CH₂)_n-,
H,
C1-C6-alkyl,~~

a'
Cont

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

R⁸ and R⁹ taken together can be

-(CH₂)_mCH₂(R¹⁵)NCO-,

-(CH₂)_mCH₂OCO-, or

-(CH₂)_mCH₂CH₂CO-;

R¹⁰ and R¹¹ are independently

H,

R¹⁵R¹⁶N-,

R¹⁵R¹⁶N(CR¹⁷)-,

R¹⁴HNCO-, or

R¹⁴CONH-;

R¹² is

H,

halogen (F, Cl, Br),

HO,

R¹³O-,

R¹⁵R¹⁶N-,

C1-C3-alkyl,

CF₃,

R¹⁴CO₂-,

R¹⁴CO-, or

R¹⁴CONH-;

R¹³ is C1-C3-alkyl;

R¹⁴ is H or C1-C3-alkyl;

R¹⁵ and R¹⁶ are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{15} and R^{16} taken together can be C3-C6-cycloalkyl;

R^{17} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

n is 1 to 6;

m is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein R^{10} and R^{11} cannot be both H.

2. The compound of claim 1 of Formula I wherein

one of four substituents of R^1 , R^2 , R^3 and R^4 must be C1-C3-alkylthio group or C1-C3-alkoxy group, the other substituents are independently H, $R^{13}O-$, $R^{13}S-$, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S-$, $-SCH_2O-$, or $-OCH_2S-$;

R^9 is

$R^{15}R^{16}NCO-$,

$R^{15}R^{16}NCS-$,

$R^{15}R^{16}N(CR^{17})-$,

$R^{17}OCO-$,

$R^{15}CO-$, or

H;

R^{10} and R^{11} are independently H, H_2N- , or CH_3CONH- ; and pharmaceutically acceptable salts thereof.

3. The compound of claim 2 further comprising a pharmaceutically acceptable carrier.

4. The compound of claim 3 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

5. The compound of claim 2 of Formula I selected from the group consisting of

Q!
cont

109150: 6162660

1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-

A1
cont

405750: 2105000

~~methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine.~~

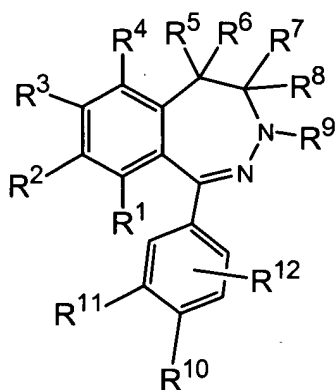
6. The compound of claim 5 further comprising a pharmaceutically acceptable carrier.

7. The compound of claim 6 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

8. The compound of claim 1 further comprising a pharmaceutically acceptable carrier.

9. The compound of claim 8 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

10. A method for treating a patient having a disorder associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a compound of Formula I:



wherein

R¹, R², R³ and R⁴ are independently

H,

HO,

R¹³O-,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF₃,

R¹⁴CO₂-,

$$\text{R}^{14}\text{O}_2\text{C}-,$$
$$\text{R}^{14}\text{CO-},$$
$$R^{14}CONH-$$
 $\text{R}^{14}\text{NHCO-}$
$$\text{R}^{14}\text{NHCO}_2^-,$$
$$R^{14}OCONH-$$
$$R^{14}O_2S-$$
$$R^{14}OS-, \text{ or}$$
$$R^{15}R^{16}N-; \text{ or}$$

R^1 and R^2 , or R^2 and R^3 , or R^3 and R^4 taken together can be

$$-\text{SCH}_2\text{S}-,$$
$$-\text{SCH}_2\text{O}-,$$
$$-\text{OCH}_2\text{S}-,$$
$$-\text{SCH}_2\text{CH}_2\text{S}-,$$

—SCH₂CH₂O—, or

$$-\text{OCH}_2\text{CH}_2\text{S}-;$$

wherein one of R¹, R², R³ and R⁴ must be C1-C3-alkoxy or C1-C3-alkylthio group;

R^5, R^6, R^7 , and R^8 are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl,

phenyl or substituted phenyl, wherein the phenyl is substituted with

one or two substituents, C1-C3-alkyl, halogen (F, Cl, Br), $R^{13}O-$, CF_3- ,

$$\text{R}^{14}\text{O}_2\text{S-}, \text{R}^{14}\text{OS-}, \text{R}^{14}\text{CO}, \text{R}^{14}\text{CO}_2\text{-}, \text{R}^{14}\text{O}_2\text{C-}, \text{R}^{14}\text{CONH-}, \text{R}^{14}\text{NHCO}; \text{ or}$$

R⁵ and R⁶ taken together can be C3-C6-cycloalkyl;

R⁷ and R⁸ taken together can be C3-C6-cycloalkyl;

 R^9 is
$$R^{15}R^{16}NCO-$$

a'
cont

103490-00000000

$R^{15}R^{16}NCS-$,
 $R^{15}R^{16}N(CR^{17})-$,
 $R^{17}OCO-$,
 $R^{15}CO-$,
 $R^{15}R^{16}NCH_2CO-$,
 $R^{14}O_2C-(CH_2)_n-$,
 $R^{15}R^{16}NCO-(CH_2)_n-$,
 $NC-(CH_2)_n-$,

H,

C1-C6-alkyl,

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

R^8 and R^9 taken together can be

$-(CH_2)_mCH_2(R^{15})NCO-$,

$-(CH_2)_mCH_2OCO-$, or

$-(CH_2)_mCH_2CH_2CO-$;

R^{10} and R^{11} are independently

H,

$R^{15}R^{16}N-$,

$R^{15}R^{16}N(CR^{17})-$,

$R^{14}HNCO-$, or

$R^{14}CONH-$;

R^{12} is

H,

halogen (F, Cl, Br),

HO,

$R^{13}O-$,

$R^{15}R^{16}N-$,

C1-C3-alkyl,

CF_3 ,

al
cont

403750-403750

$R^{14}CO_2-$,

$R^{14}CO-$, or

$R^{14}CONH-$;

R^{13} is C1-C3-alkyl;

R^{14} is H or C1-C3-alkyl;

R^{15} and R^{16} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R^{15} and R^{16} taken together can be C3-C6-cycloalkyl;

R^{17} is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

n is 1 to 6;

m is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein R^{10} and R^{11} cannot be both H,

in combination with a pharmaceutically acceptable carrier.

11. The method of claim 10 wherein, in the compound of Formula I, one of four substituents of R^1 , R^2 , R^3 and R^4 must be C1-C3-alkylthio group or C1-C3-alkoxy group, the other substituents are independently H, $R^{13}O-$, $R^{13}S-$, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S-$, $-SCH_2O-$, or $-OCH_2S-$;

R^9 is

$R^{15}R^{16}NCO-$,

$R^{15}R^{16}NCS-$,

$R^{15}R^{16}N(CR^{17})-$,

$R^{17}OCO-$,

$R^{15}CO-$, or

H;

a' cont
R¹⁰ and R¹¹ are independently H, H₂N-, or CH₃CONH-; and pharmaceutically acceptable salts thereof.

— 12. The method of claim 11 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

para 12
— 13. The method of claim 11 wherein the compound of Formula I is selected from the group consisting of

1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-

Q2
cont

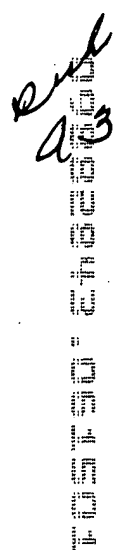
FORM 990-CH 6360

3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-

$$a^2_{cont}$$

15. The method of claim 10 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

16. A compound of Formula II:



wherein

R^1, R^2, R^3 and R^4 are independently

H,

HO,

$$\text{R}^{13}\text{O}-,$$

halogen (F, Cl, Br),

C1-C3-alkyl,

 CF_3
$$R^{14}CO_2^-,$$
$$\text{R}^{14}\text{O}_2\text{C}^-,$$
$$\text{R}^{14}\text{CO}-,$$
$$R^{14}CONH-$$
$$\text{R}^{14}\text{NHCO-},$$

$R^{14}NHCO_2-$,

$R^{14}OCONH-$,

$R^{14}O_2S-$,

$R^{14}OS-$, or

$R^{15}R^{16}N-$; or

R^1 and R^2 , or R^2 and R^3 , or R^3 and R^4 taken together can be

$-SCH_2S-$,

$-SCH_2O-$,

$-OCH_2S-$,

$-SCH_2CH_2S-$,

$-SCH_2CH_2O-$, or

$-OCH_2CH_2S-$; or

one of four substituents of R^1 , R^2 , R^3 and R^4 must be C1-C3-alkoxy or C1-C3-alkylthio group;

R^5 , R^6 , and R^7 are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with

one or two substituents, C1-C3-alkyl, halogen (F, Cl, Br), $R^{13}O-$, CF_3- ,

$R^{14}O_2S-$, $R^{14}OS-$, $R^{14}CO$, $R^{14}CO_2-$, $R^{14}O_2C-$, $R^{14}CONH-$, $R^{14}NHCO$; or

R^5 and R^6 taken together can be C3-C6-cycloalkyl;

R^{13} is C1-C3-alkyl;

R^{14} is H or C1-C3-alkyl;

R^{15} and R^{16} are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

A³
cont

C3-C6-cycloalkyl; or

R¹⁵ and R¹⁶ taken together can be C3-C6-cycloalkyl;

R¹⁷ is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

R¹⁸ and R¹⁹ are independently

H,

halogen (F, Cl, Br),

C1-C3-alkyl,

R¹⁴O-,

CF₃-, or

R¹⁴CO₂-;

R²⁰ and R²¹ are independently

H,

R¹⁵R¹⁶N-,

R¹⁵HNC(NH)-, or

R¹⁴CONH-;

and pharmaceutically acceptable salts thereof;

wherein R²⁰ and R²¹ cannot both be H.

17. The compound of claim 16 of Formula II wherein one of four substituents of R¹, R², R³ and R⁴ must be C1-C3-alkylthio or C1-C3-alkoxy group, the other substituents are independently H, R¹³O-, R¹³S-, halogen (F, Cl, Br), or C1-C3-alkyl;

R² and R³ taken together can be -SCH₂S-, -SCH₂O-, or -OCH₂S-;

R²⁰ and R²¹ are independently H, H₂N-, or CH₃CONH-; and pharmaceutically acceptable salts thereof.

18. The compound of claim 17 further comprising a pharmaceutically acceptable carrier.

19. The compound of claim 18 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

20. The compound of claim 17 of Formula II selected from the group consisting of

1-(4-Aminophenyl)-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-4-methyl-8-methylthio-5H-2,3-benzodiazepine.

21. The compound of claim 20 further comprising a pharmaceutically acceptable carrier.

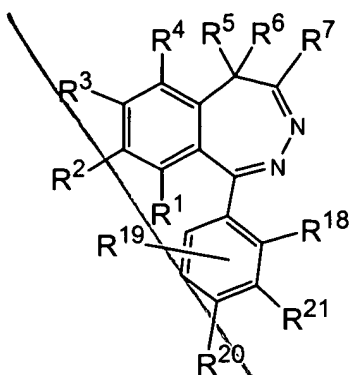
22. The compound of claim 21 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

23. The compound of claim 16 further comprising a pharmaceutically acceptable carrier.

24. The compound of claim 23 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

25. A method for treating a patient having a disorder associated with excessive activation of the α -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a compound of Formula II:

a³
cont



wherein

R^1 , R^2 , R^3 and R^4 are independently

H,

HO,

$R^{13}O-$,

halogen (F, Cl, Br),

C1-C3-alkyl,

CF_3 ,

$R^{14}CO_2-$,

$R^{14}O_2C-$,

$R^{14}CO-$,

$R^{14}CONH-$,

$R^{14}NHCO-$,

$R^{14}NHCO_2-$,

$R^{14}OCONH-$,

$R^{14}O_2S-$,

$R^{14}OS-$, or

$R^{15}R^{16}N-$; or

R^1 and R^2 , or R^2 and R^3 , or R^3 and R^4 taken together can be

$-SCH_2S-$,

$-SCH_2O-$,

$-OCH_2S-$,

163490-010000

-SCH₂CH₂S-,

-SCH₂CH₂O-, or

-OCH₂CH₂S-; or

one of four substituents of R¹, R², R³ and R⁴ must be C1-C3-alkoxy or C1-C3-alkylthio group;

R⁵, R⁶, and R⁷ are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents, C1-C3-alkyl, halogen (F, Cl, Br), R¹³O-, CF₃-, R¹⁴O₂S-, R¹⁴OS-, R¹⁴CO, R¹⁴CO₂-, R¹⁴O₂C-, R¹⁴CONH-, R¹⁴NHCO; or R⁵ and R⁶ taken together can be C3-C6-cycloalkyl;

R¹³ is C1-C3-alkyl;

R¹⁴ is H or C1-C3-alkyl;

R¹⁵ and R¹⁶ are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R¹⁵ and R¹⁶ taken together can be C3-C6-cycloalkyl;

R¹⁷ is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

R¹⁸ and R¹⁹ are independently

H,

halogen (F, Cl, Br),

C1-C3-alkyl,

R¹⁴O-,

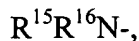
CF₃-, or

A³
cont



R^{20} and R^{21} are independently

H,



and pharmaceutically acceptable salts thereof;

wherein R^{20} and R^{21} cannot both be H,

in combination with a pharmaceutically acceptable carrier.

26. The method of claim 25 wherein, in the compound of Formula II, one of four substituents of R^1 , R^2 , R^3 and R^4 must be C1-C3-alkylthio or C1-C3-alkoxy group, the other substituents are independently H, $R^{13}O-$, $R^{13}S-$, halogen (F, Cl, Br), or C1-C3-alkyl;

R^2 and R^3 taken together can be $-SCH_2S-$, $-SCH_2O-$, or $-OCH_2S-$;

R^{20} and R^{21} are independently H, H_2N- , or CH_3CONH- ; and pharmaceutically acceptable salts thereof.

27. The method of claim 26 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

28. The method of claim 26 wherein the compound of Formula II is selected from the group consisting of

1-(4-Aminophenyl)-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-4-methyl-8-methylthio-5H-2,3-benzodiazepine.

pub
B4

